(19) World Intellectual Property **Organization**

International Bureau



(43) International Publication Date 21 April 2005 (21.04.2005)

PCT

(10) International Publication Number WO 2005/034844 A2

(51) International Patent Classification⁷:

A61K

(21) International Application Number:

PCT/US2004/021487

(22) International Filing Date:

1 July 2004 (01.07.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/502,186

12 September 2003 (12.09.2003) US

(71) Applicant (for all designated States except US): CLEVE-LAND STATE UNIVERSITY [US/US]; 2121 Euclid Avenue, Cleveland, OH 44115 (US).

(72) Inventor; and

(75) Inventor/Applicant (for US only): KALAFATIS, Michael [US/US]; 2859 Sedgewick Road, Shaker Heights, OII 44120 (US).

(74) Agent: BANDY, Mark, E.; Fay, Sharpe, Fagan, Minnich & McKee, LLP, 1100 Superior Avenue, Suite 700, Cleveland, OH 44114 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GII, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: EXOSITE-DIRECTED THROMBIN INHIBITORS

2005/034844

680 KMHDRLEPEDEESDADYDYQNRLAAALGIR 709	SEQ ID NO. 1
HC1 KMHDRLEPED	SEQ ID NO. 2
HC2 LEPEDEESDA	SEQ ID NO. 3
HC3 EESDADYDYQ	SEQ ID NO. 4
HC4 DYDYQNRLAA	SEQ ID NO. 5
HC5 NRLAAALGIR	SEQ ID NO. 6

(57) Abstract: Disclosed are an amino acid sequence of the human blood clotting factor Va, peptides containing such sequence, and additional peptides of interest that significantly inhibit thrombin generation. Also disclosed are pharmaceutical compositions containing these peptides and related therapeutic methods for inhibiting thrombin generation and treating blood coagulation disorders.